

L10 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:638236 CAPLUS

DOCUMENT NUMBER: 123:144502

TITLE: Method for preparation of 1-O-acylglycerol 2,3-cyclic phosphate

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PATENT ASSIGNEE(S): Sagami Chem Res, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

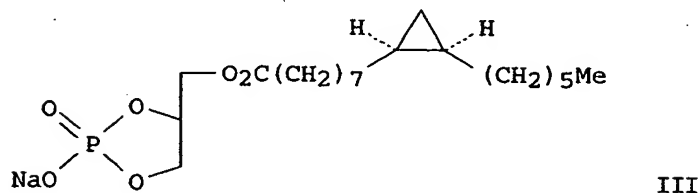
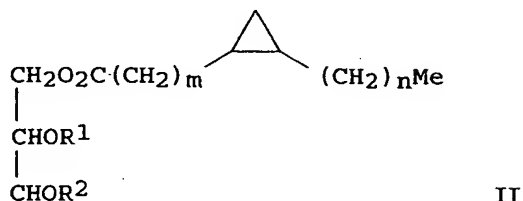
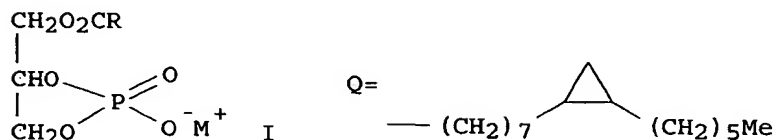
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06228169	A2	19940816	JP 1993-40657	19930205
PRIORITY APPLN. INFO.:			JP 1993-40657	19930205
OTHER SOURCE(S):		CASREACT 123:144502; MARPAT 123:144502		

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AB The title compound [I; R = linear or branched C1-30 alkyl or C2-30 alkenyl optionally containing a cycloalkane or an aromatic ring; M = H, alkali or alkaline

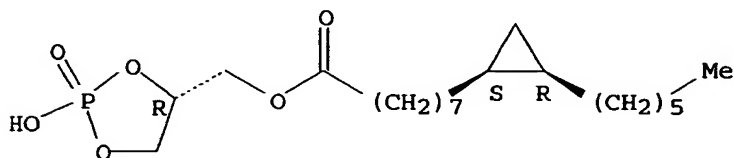
earth metal, (un)substituted ammonium] is prepared by reacting 1-O-acylglycerol RCO2CH2CH(OH)CH2OH (R = same as above) with a phosphorylating agent X1X2X3P(O) [X1 = halo, imidazolyl, triazolyl; X2 = halo, imidazolyl, triazolyl, (un)substituted PhO or alkoxy; X3 = imidazolyl, triazolyl, (un)substituted PhO or alkoxy, substituted amino] followed by hydrolysis. An optically active intermediate (II; m, n = 0-15 integer; R1, R2 = H, HO-protective group) is also prepared. This process gives, in particular, lysophosphatidic acid PHYLPA I (R = Q, M = Na) which is a potent DNA polymerase α inhibitor and potentially useful as an antitumor agent (no data). Thus, 1-O-[(9S,10R)-9,10-methanohexadecanoyl]-

sn-glycerol (preparation given) in THF was added to a solution of phosphoryl tristriazolide in THF which was prepared by reacting triazole with POCl₃ and Et₃N in THF, and the resulting mixture was stirred at room temperature for 20 min, added to 2% aqueous HCl, and extracted with Et₂O. The ether extract was dried over anhydrous Na₂SO₄, treated with NaH in Et₂O, and extracted with distilled water followed by freeze-drying the water extract to give 97% optically active title compound (III).

IT 151766-47-1P 151766-51-7P 151766-52-8P
 151766-53-9P 164215-56-9P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of O-acylglycerol cyclic phosphate as DNA polymerase inhibitor and antitumor agent)

RN 151766-47-1 CAPLUS
 CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

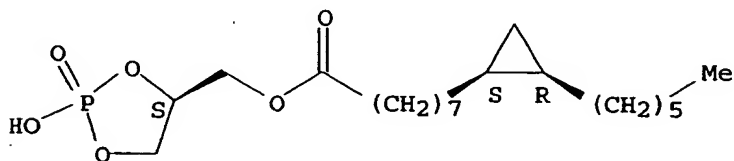
Absolute stereochemistry. Rotation (+).



● Na

RN 151766-51-7 CAPLUS
 CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1S,2R)- (9CI) (CA INDEX NAME)

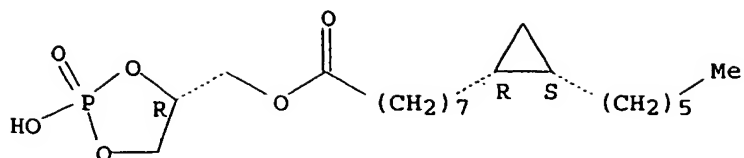
Absolute stereochemistry. Rotation (-).



● Na

RN 151766-52-8 CAPLUS
 CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4R)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

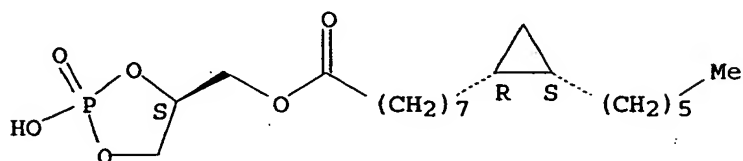


● Na

RN 151766-53-9 CAPLUS

CN Cyclopropaneoctanoic acid, 2-hexyl-, [(4S)-2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl]methyl ester, sodium salt, (1R,2S)- (9CI) (CA INDEX NAME)

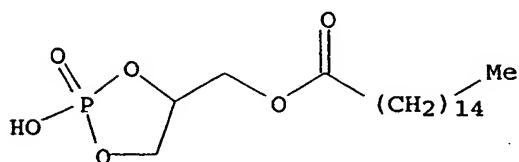
Absolute stereochemistry.



● Na

RN 164215-56-9 CAPLUS

CN Hexadecanoic acid, (2-hydroxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl ester, sodium salt (9CI) (CA INDEX NAME)



● Na